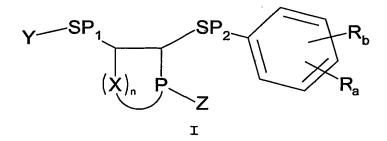
WO 2005/033100 PCT/CH2004/000584

81

Claims

1. A compound according to formula I



wherein

P represents -N< or -C=,

X represents independently of each other $-CH_2-$, CR_1 (sp₂-hybridised), O, -NH-, =N-, -CO- or -CS-, wherein R_1 represents H or NR_2 , wherein R_2 represents H or lower alkyl, which optionally is linked to Z such that a bicyclic structure is formed;

n represents 1 or 2,

 $R_{a} \qquad \text{represents H, lower alkyl, } -OR_{3}, -O(CO)R_{3}, -O(CO)OR_{3}, -O(CO)OR_{3}, -O(CO)NR_{3}R_{4}, -NR_{3}R_{4}, -NR_{3}(CO)R_{4}, -COOR_{3}, -CONR_{3}R_{4}, -CH=CHCOOR_{3}, -CF_{3}, -CN, -NO_{2}, SO_{3}H, PO_{3}H \text{ or halogen,} \\ \text{wherein } R_{3} \text{ and } R_{4} \text{ represent H or lower alkyl,}$

R_b represents H, OH, -OSO₂Me, -OSO₂W wherein W represents optionally substituted aryl or heteroaryl, -OCO(CHOH)₂COOR₅ wherein R₅ represents H or lower alkyl; or represents the formula -Sp₃-R₆, wherein Sp₃ represents a covalent bond, -O-, -OCH₂-, -OSO₂CH₂-, -OSO₂-, -OSO₂-(p)C₆H₄O- and R₆ represents one of carbohydrate structures A-D:

PCT/CH2004/000584 WO 2005/033100

82

$$R_{7}O_{1,1}$$
 OR_{8} OR_{11} OR_{7} OR_{8} OR_{8} OR_{8} OR_{8} OR_{8} OR_{11} OR_{11} OR_{12} OR_{13} OR_{7} OR_{8} OR_{14} OR_{11} OR_{11} OR_{11} OR_{11}

wherein

 R_7 , R_8 , R_9 , R_{11} , R_{12} , R_{13} and R_{14} represent independently of each other H, lower alkyl, aryl(lower alkyl), -CO-lower alkyl, -CO-aryl, $-SO_3$ or $-PO_3$,

 R_{10} represents $-CH_2OR_{16}$ or $-COOR_{17}$, and

 R_{15} represents $-CH_2OR_{16}$, $-COOR_{17}$, $-CH_2NH_2$, $-CH_2OPO_3$ or -CH₂OSO₃, wherein R₁₆ and R₁₇ independently of each other represent H, lower alkyl, aryl(lower alkyl), -CO-lower alkyl, -CO-aryl, $-SO_3$ or $-PO_3$,

represents optionally substituted aryl or heteroaryl, \mathbf{z}

represents a spacer unit, such as a straight-chain or Sp_1 branched lower alkyl group $-(CH_2)_{p}$, wherein p is from 2-6, which is unsubstituted, mono or poly-substituted by -OH, -OR₁₈, halogen or cyano group, wherein one or more -CH2- groups may independently be replaced by -O-, -CO-, -CO-O-, -O-CO-, $-NR_{19}-$, $-NR_{19}-CO-$, $-CO-NR_{19}-$, -CH=CH-, $-C\equiv C-$ -and wherein R_{18} and R_{19} represent a hydrogen atom or lower alkyl;

represents an optional spacer unit, such as a covalent Sp_2 bond or a straight-chain or branched lower alkyl group - 83

 $(CH_2)_{q}$ -, wherein q is from 1-6, which is unsubstituted, mono or poly-substituted by -OH, -OR₂₀, halogen or cyano group, wherein one or more -CH₂- groups may independently be replaced by -O-, -CO-, -CO-O-, -O-CO-, -NR₂₁-, -NR₂₁-CO-, -CO-NR₂₁-, -CH=CH-, -C \equiv C- -and wherein R₂₀ and R₂₁ represents a hydrogen atom or lower alkyl;

Y represents optionally substituted anyl or heteroaryl,

with the proviso, that if P = -N<, n=1, X = -CO- and Sp_2 represents a covalent bond, R_6 may not represent carbohydrate structures A or D for $Sp_3 = -O$ - and R_6 may not represent carbohydrate B for $Sp_3 = -OCH_2$ -.

2. A compound according to claim 1,

with the proviso, that if P = -N<, n=1, X = -CO- and Sp_2 represents a covalent bond, R_b may not represent H or OH and Sp_3 may not represent a covalent bond, -O- or $-OCH_2-$.

- 3. A compound according to claims 1 or 2 wherein P = -N <, n = 1 and X = -CO -, -CS -, $-CH_2 -$ or -NH -.
- 4. A compound according to claims 1 or 2 wherein P = -N < n= 1 and $X = -CS - n < -CH_2 - OR -NH - n$
- 5. A compound according to claims 1 or 2 wherein P = -N <and $-(X)_n = -OOC-, -COO-, -CONH-, -CH=N-.$
- 6. A compound according to claims 1 or 2 wherein P = -C =and $-(X)_n = -NH-N =$ or -O-N =.
- 7. A compound according to claims 1 or 2 having the formula IVa

$$P_{1,...}$$
 P_{2} P_{2} P_{3} P_{4} P_{4} P_{5} P_{5}

IVa

wherein R_a , R_b , Sp_1 , Sp_2 , P, X, Y, Z and n are as defined in claims 1 or 2.

A compound according to claims 1 or 2 having the formula
 IVb,

$$R_{22}$$
 $(X)_n$
 R_{21}

IVb

wherein R_a , R_b , Sp_1 , P, X and n are as defined hereinabove and wherein R_{21} and R_{22} represent H, lower alkyl, lower alkoxy or halogen.

- 9. A compound according to claims 7 or 8 wherein P = -N <, n = 1 and X = -CO -, -CS -, $-CH_2 -$ or -NH -.
- 10. A compound according to claims 7 or 8 wherein P = -N <, n = 1 and X = -CS -, $-CH_2 -$ or -NH -.
- 11. A compound according to claims 7 or 8 wherein P = -N <and $-(X)_n = -OOC-, -COO-, -CONH-, -CH=N-.$
- 12. A compound according to claims 7 or 8 wherein P = -C =and $-(X)_n = -NH-N =$ or -O-N =.
- 13. A pharmaceutical composition comprising a therapeutically

WO 2005/033100 PCT/CH2004/000584

85

effective amount of a compound of any preceding claim with a pharmaceutically acceptable carrier.

- 14. A pharmaceutical composition according to claim 13 for the treatment or prevention of artheriosclerosis or for the reduction of cholesterol levels.
- 15. A kit comprising a pharmaceutical composition according to claim 13 for use in the treatment or prevention of artheriosclerosis or for the reduction of cholesterol levels.
- 16. A method for the treatment or prevention of artheriosclerosis or for the reduction of cholesterol levels comprising administering to a subject in need of such treatment an effective amount of a compound according to claims 1 to 12.
- 17. Use of a compound according to claims 1 to 12 for the manufacture of a medicament for the treatment or prevention of artheriosclerosis or for the reduction of cholesterol levels.